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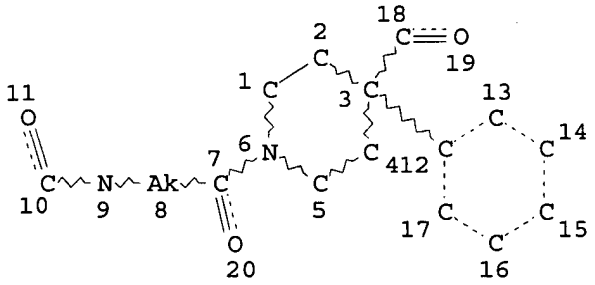
L5 HAS NO ANSWERS
L5 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 12 3
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

=> s l5 ful
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FULL SCREEN SEARCH COMPLETED - 1904 TO ITERATE

100.0% PROCESSED 1904 ITERATIONS 464 ANSWERS
SEARCH TIME: 00.00.01

L7 464 SEA SSS FUL L5

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	150.15	169.72

FILE 'CAPLUS' ENTERED AT 14:07:28 ON 21 APR 2003

FILE COVERS 1907 - 21 Apr 2003 VOL 138 ISS 17
FILE LAST UPDATED: 20 Apr 2003 (20030420/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l7
L8          17 L7

=> s l8 and melanoco?
          1341 MELANOCO?
L9           5 L8 AND MELANOCO?

=> d bib abs 1-5

L9   ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2003 ACS
AN   2003:58220  CAPLUS
DN   138:117676
TI   Linear and cyclic melanocortin receptor-specific peptides, and
     therapeutic use
IN   Sharma, Shubh D.; Shadiack, Annette M.; Yang, Wei; Rajpurohit, Ramesh
PA   Palatin Technologies, Inc., USA
SO   PCT Int. Appl., 55 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   WO 2003006620      A2   20030123       WO 2002-US22196  20020711
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
PRAI US 2001-304836P   P    20010711
OS   MARPAT 138:117676
AB   Linear and cyclic peptides are provided which are specific to
     melanocortin receptors and which exhibit agonist, antagonist, or
     mixed agonist-antagonist activity.  The peptides of the invention may be
     used to treat e.g. erectile dysfunction and eating disorders.

L9   ANSWER 2 OF 5  CAPLUS  COPYRIGHT 2003 ACS
AN   2002:777885  CAPLUS
DN   137:295252
TI   Preparation of peptides for pharmaceutical use as modulators of
     melanocortin receptors
IN   Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton,
     George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.;
     Thibault, Carl
PA   Bristol-Myers Squibb Company, USA
SO   PCT Int. Appl., 116 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 3
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   WO 2002079146      A2   20021010       WO 2002-US6581   20020302
     WO 2002079146      A3   20030206
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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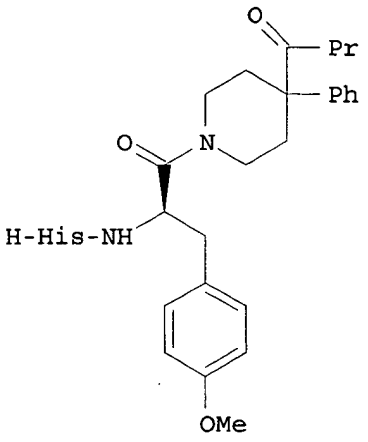
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2001-273206P P 20010302
US 2001-273291P P 20010302
OS MARPAT 137:295252
GI



I

AB Compds. W-(CH2)y(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, or hexahydro-1-azepinyl; R4, R5 = H, (un)substituted alkyl, halo, hydroxy, amino, aryl, cycloalkyl, heterocyclyl, spirocycloalkyl ring; r, s = 0 or 1; x, y = 0-4; W = amino, carbamoyl, amidino, guanidino, heteroaryl, heterocyclyl, etc.] or their pharmaceutically-acceptable salts or prodrugs were prepd. as modulators of **melanocortin** receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepd. by a soln.-phase peptide coupling/deprotection scheme.

L9 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN 2002:695975 CAPLUS
DN 137:232913
TI Preparation of peptides for pharmaceutical use as modulators of **melanocortin** receptors
IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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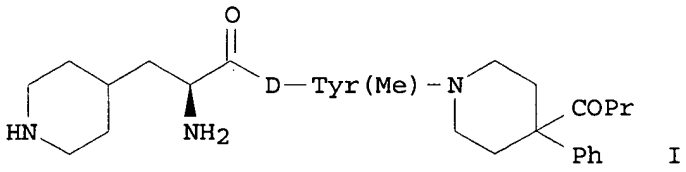
PI WO 2002070511 A1 20020912 WO 2002-US6479 20020302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2001-273206P P 20010302
US 2001-273291P P 20010302
OS MARPAT 137:232913
GI



I

AB Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepd. as modulators of **melanocortin** receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepd. by a soln.-phase peptide coupling/deprotection scheme.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN 2002:695727 CAPLUS
DN 137:226646
TI Co-administration of **melanocortin** receptor agonist and phosphodiesterase inhibitor for treatment of cyclic-AMP associated disorders
IN Macor, John E.; Carlson, Kenneth E.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002069905	A2	20020912	WO 2002-US6805	20020304

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2003069169	A1	20030410	US 2002-90258	20020304
PRAI	US 2001-273206P	P	20010302		
	US 2001-273291P	P	20010302		
	US 2001-289719P	P	20010509		

OS MARPAT 137:226646

AB Co-administration of a **melanocortin** receptor agonist, particularly an MC-1R or MC-4R agonist, and a cAMP phosphodiesterase inhibitor is described for modulating levels of cyclic adenosine 3',5' monophosphate (cAMP) in a mammal. The inventive co-administration is useful in the treatment of diseases affected by activity of cAMP-PDE, including without limitation, inflammatory bowel disease, irritable bowel syndrome, rheumatoid arthritis, osteoarthritis, pancreatitis, psoriasis, migraine, Alzheimer's Disease, Parkinson's disease, transplant rejection, asthma, acute respiratory distress syndrome, chronic obstructive pulmonary disease, stroke, and neurodegeneration of, and consequences of traumatic brain injury.

L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS

AN 2000:880962 CAPLUS

DN 134:42445

TI Preparation of piperidine amino acid derivatives as **melanocortin** -4 receptor agonists

IN Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.

PA Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.

SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000074679	A1	20001214	WO 2000-US14930	20000531
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1187614	A1	20020320	EP 2000-937961	20000531
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003505435	T2	20030212	JP 2001-512328	20000531
	US 6350760	B1	20020226	US 2000-585111	20000601
	US 2002137664	A1	20020926	US 2001-990499	20011121
PRAI	US 1999-137477P	P	19990604		
	US 1999-169209P	P	19991202		
	WO 2000-US14930	W	20000531		
	US 2000-585111	A3	20000601		

OS MARPAT 134:42445

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CHRb)n-cycloalkyl, -aryl, -heteroaryl, -O(CHRb)naryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepd. as agonists of the human **melanocortin** receptors, in particular, the human **melanocortin**-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepd. by coupling of Et 1-(D-4-chlorophenylalanyl)-4-cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (prepn. given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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     (FILE 'HOME' ENTERED AT 14:01:05 ON 21 APR 2003)

     FILE 'CAPLUS' ENTERED AT 14:01:12 ON 21 APR 2003
L1            1 S US6458790/PN
L2           ANALYZE L1 1 RN :     593 TERMS

     FILE 'REGISTRY' ENTERED AT 14:02:21 ON 21 APR 2003
L3          593 S L2
L4            1 S L3 AND TRIAZ?

     FILE 'REGISTRY' ENTERED AT 14:03:58 ON 21 APR 2003
L5               STRUC
L6           22 S L5
L7          464 S L5 FUL

     FILE 'CAPLUS' ENTERED AT 14:07:28 ON 21 APR 2003
L8           17 S L7
L9            5 S L8 AND MELANOCO?

=> s l8 not l9
L10          12 L8 NOT L9

=> d bib abs 1-12

L10  ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2003 ACS
AN   2002:551566  CAPLUS
DN   137:119637
TI   Compositions and methods for inhibiting fungal growth
IN   Bergnes, Gustave; Berlin, Vivian; Come, Jon; Kluge, Arthur; Murthi,
     Krishna; Pal, Kollol
PA   GPC Biotech Inc., USA
SO   U.S., 115 pp., Cont.-in-part of U.S. Ser. No. 115,846.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.         KIND  DATE           APPLICATION NO.  DATE
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PI   US 6423519          B1   20020723       US 1998-172845   19981015
     CA 2335381          AA   20000127       CA 1999-2335381  19990715
     WO 2000003743       A2   20000127       WO 1999-US16146  19990715
     WO 2000003743       A3   20010201
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9951075          A1   20000207       AU 1999-51075    19990715
     EP 1096925          A2   20010509       EP 1999-935639   19990715
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002520372       T2   20020709       JP 2000-559877   19990715
PRAI US 1998-115846      B2   19980715
     US 1998-172845      A    19981015
     WO 1999-US16146     W    19990715
OS   MARPAT 137:119637
AB   The present invention relates to compns. and methods for inhibiting fungal
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growth. The present invention relates to methods for treating or preventing fungal infections and infections involving other eukaryotic parasites of plants or animals, using compds. that specifically inhibit the biol. activity of the enzyme protein geranylgeranyltransferase (GGPTase). The inhibitors of fungal GGPTase which are anti-fungal agents may be peptides, peptidomimetics, or non-peptides.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 2002:157727 CAPLUS
DN 136:216538
TI Preparation and use of aryl amides as factor Xa inhibitors
IN Cappi, Michael W.; Fuchs, Thilo; Eckl, Robert; Schabbert, Silke
PA Morphochem A.-G., Germany
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016312	A2	20020228	WO 2001-EP9753	20010823
WO 2002016312	A3	20020620		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10041402	A1	20020314	DE 2000-10041402	20000823
AU 2001095507	A5	20020304	AU 2001-95507	20010823
PRAI DE 2000-10041402	A	20000823		
WO 2001-EP9753	W	20010823		

OS MARPAT 136:216538
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = Cl, Br, R1N=C(NH2); R1 = H, OH, carboxy, alkyl, aralkyl, arylalkoxy, heteroalkyl, etc.; Ar = (hetero)arylene, heteroarylalkylene, arylalkylene; X = arom. ring; R3 = H, (hetero)alkyl, aralkyl; R4 = OH, NH2, heteroalkyl, carbocyclic, etc.; n = 0-5; R5 = H, alkyl, heteroalkyl, carbocyclic, heterocycloalkyl, aryl, heteroaryl, heteroarylalkyl, aralkyl; R6-7 = H, alkyl, heteroalkyl, carbocyclic, heterocycloalkyl, etc.; R8 = H, alkyl, heteroalkyl, carbocyclic, heterocycloalkyl, aryl, heteroaryl, heteroarylalkyl, aralkyl or together with R5 forms a heterocycloalkyl ring system] were prepd. E.g., II was prepd. from helicin, 3-aminobenzamidine dihydrochloride and 2-isocyano-1-[4-(2-methoxyphenyl)piperazin-1-yl]ethanone in MeOH after 24 h at room temp. Compds. of the invention had IC50 = 1 nM to 1 .mu.M for factor Xa. I are useful for preventing and/or treating thrombo-embolic illnesses.

L10 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 2001:145268 CAPLUS
DN 134:193742

TI Synthesis of N,N-disubstituted peptide amides for selectively inhibiting the binding of .alpha.4.beta.1 integrin

IN Biediger, Ronald J.; Grabbe, Vanessa O.; Holland, George W.; Kassir, Jamal M.; Kogan, Timothy P.; Lin, Shuqun; Market, Robert V.; Raju, Bore G.; Scott, Ian L.; Wu, Chengde

PA Texas Biotechnology Corporation, USA

SO U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 292,187.
CODEN: USXXAM

DT Patent

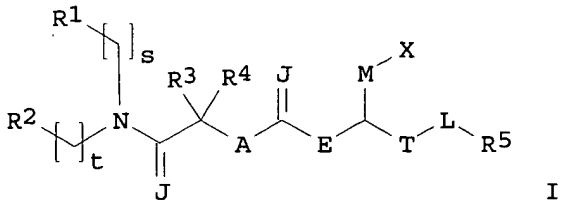
LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6194448	B1	20010227	US 1999-417857	19991014
PRAI	US 1998-82019P	P	19980416		
	US 1999-292187	A2	19990415		

OS MARPAT 134:193742

GI



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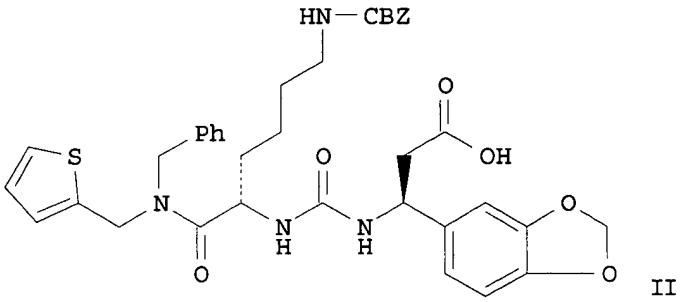

II

AB Peptide amides I [A, J = O, S, or (un)substituted amino; E = CH2, O, S, or (un)substituted amino; s, t = 0-3; T = CO, bond, CH2, CH2CH2, or CH2CH2CH2; L = O, S, (un)substituted amino, CH2, or CH2CH2; M = bond or (un)substituted alkylene; X = H, CO2H, carboxy ester, PO3H2, SO3H, OPO3H2, C(O)NHC(O)R12, C(O)NHSO2R13, oxazolyl, tetrazolyl, or H; R1-R5, R12, R13 = H, (cyclo)alkyl, aryl, heterocyclyl, etc.] were prepd. as selective inhibitors of the binding of .alpha.4.beta.1 integrin to its receptors, such as VCAM-1 (vascular cell adhesion mol.-1) and fibronectin. For example, thiophene-2-methylamine was coupled with benzaldehyde and the product used to amidate Boc-L-Lys(Cbz)-OH (Boc = tert-butoxycarbonyl, Cbz = benzyloxycarbonyl). Deprotection and acylation of the .alpha.-amine with (S)-Me 3-[[(p-nitrophenoxy)carbonyl]amino]-3-(1,3-benzodioxol-5-yl)propionate and deesterification yielded peptide II. Invention compds. were assayed for their ability to suppress binding using a 26-amino acid peptide contg. the CS-1 sequence of fibronectin with N-terminal cysteine coupled to maleimide activated ovalbumin. Sixty of the test compds. inhibited cell adhesion by 99-100% at concns. of 100 .mu.M and gave IC50 values ranging from 0.0004 to 40.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 2000:68365 CAPLUS
DN 132:122932
TI Preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochemical antifungals.
IN Bergnes, Gustave; Berlin, Vivian; Come, Jon; Kluge, Arthur; Murthi, Krishna; Pal, Kollol
PA Mitotix, Inc., USA
SO PCT Int. Appl., 287 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000003743	A2	20000127	WO 1999-US16146	19990715
	WO 2000003743	A3	20010201		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6423519	B1	20020723	US 1998-172845	19981015
	CA 2335381	AA	20000127	CA 1999-2335381	19990715
	AU 9951075	A1	20000207	AU 1999-51075	19990715
	EP 1096925	A2	20010509	EP 1999-935639	19990715
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002520372	T2	20020709	JP 2000-559877	19990715
PRAI	US 1998-115846	A	19980715		
	US 1998-172845	A	19981015		
	WO 1999-US16146	W	19990715		

OS MARPAT 132:122932
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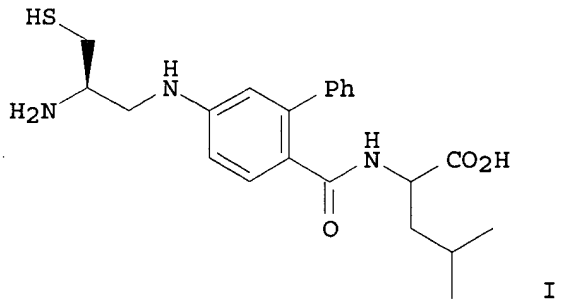


I

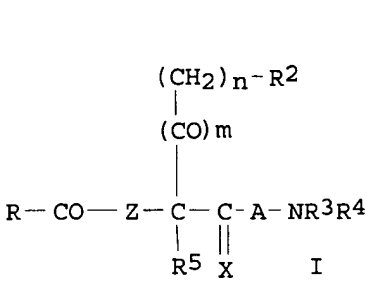
AB A method for inhibiting the growth of a fungal pathogen comprises contacting the pathogen with a compd., e.g., (R70)2NCH[(CH2)nR]C(Xa)NHCHR72C(Xb)NHCHR73C(Xc)NHCHR10CO2R11 [Xa, Xb, Xc = O, H2; R = SR1, SOR111, SO2R111; R1 = H, alkyl, alkenyl, aryl, acyl; R10 = alkyl, alkenyl, alkynyl, aryl, cycloalkyl, hydroxyalkyl, amino acid sidechain, etc.; R11 = H, blocking group, pharmaceutically acceptable salt; R10R11 = atoms to

form 5-7-membered ring; R111 = alkyl, alkenyl, (CH2)mR7; R70 = H, alkyl, alkenyl, alkynyl, aryl, acyl, amino acid sidechain, etc.; R72, R73 = H, alkyl, aryl, heteroaryl, amino acid sidechain, (CH2)mR7, etc.; m, n = 0-4], which inhibits prenyl transferase activity with MIC50<25 .mu.g/mL. Thus, title compd. (I) (soln. phase prepn. given) inhibited GGTase with IC50<10 nM.

L10 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 1998:197358 CAPLUS
DN 128:257695
TI Preparation of modified amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compositions
IN Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PA Karl Thomae G.m.b.H., Germany; Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
SO PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9811128	A1	19980319	WO 1997-EP4862	19970908
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	DE 19636623	A1	19980312	DE 1996-19636623	19960910
	DE 19720011	A1	19981119	DE 1997-19720011	19970514
	AU 9741196	A1	19980402	AU 1997-41196	19970908
	AU 721035	B2	20000622		
	EP 927192	A1	19990707	EP 1997-938928	19970908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9712023	A	19990831	BR 1997-12023	19970908
	JP 2000505100	T2	20000425	JP 1998-513227	19970908
	NO 9901130	A	19990505	NO 1999-1130	19990309
	KR 2000044040	A	20000715	KR 1999-702008	19990310
	US 6344449	B1	20020205	US 1999-254281	19991012
	US 2001036946	A1	20011101	US 2001-789391	20010221
	US 2003069231	A1	20030410	US 2002-119875	20020410
PRAI	DE 1996-19636623	A	19960910		
	DE 1997-19720011	A	19970514		
	WO 1997-EP4862	W	19970908		
	US 1999-254281	A1	19991012		
	US 2001-789391	A1	20010221		

OS MARPAT 128:257695
GI



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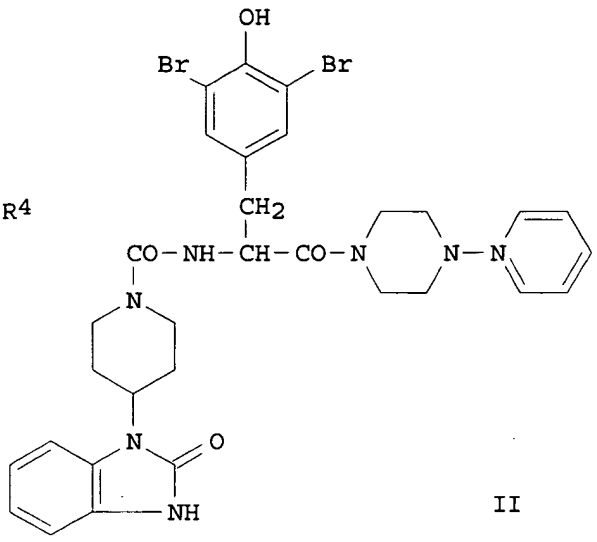


II

AB The invention concerns modified amino acids of general formula I [A = bond, CX; Z = CH2, NR1; R1 = H, alkyl, phenyl-alkyl; X = O, H,H; n = 1-2; m = 0-1; R = (substituted)alkyl; R2 = Ph, (substituted)(hetero)(bi)cycle; R3 = H, (substituted)alkyl, Ph, pyridinyl; R4 = H, (substituted)alkyl; R3R4= (hetero)cycle; R5 = H, alkyl, alkoxycarbonyl, PhCH2], pharmaceuticals contg. these compds., their use and the method for their prodn., as well as their use for the prodn. and purifn. of antibodies and as marked compds. in RIA and ELISA assays and as diagnostic or analytic auxiliary agents in neurotransmitter research. Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II(22%). Title compds. show human calcitonin gene related peptide (CGRP) antagonist activity; in in-vitro binding studies with Sk-N-MC-cells, I had IC50 .ltoreq.10000 nM, and in the same system, had CGRP-antagonist activity at doses from 10-11 to 10-6 M.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 1998:186625 CAPLUS
DN 128:230701
TI Preparation of varied amino acids as calcitonin gene-related peptide antagonists in pharmaceutical compositions
IN Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PA Karl Thomae G.m.b.H., Germany
SO Ger. Offen., 142 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19636623	A1	19980312	DE 1996-19636623	19960910
WO 9811128	A1	19980319	WO 1997-EP4862	19970908

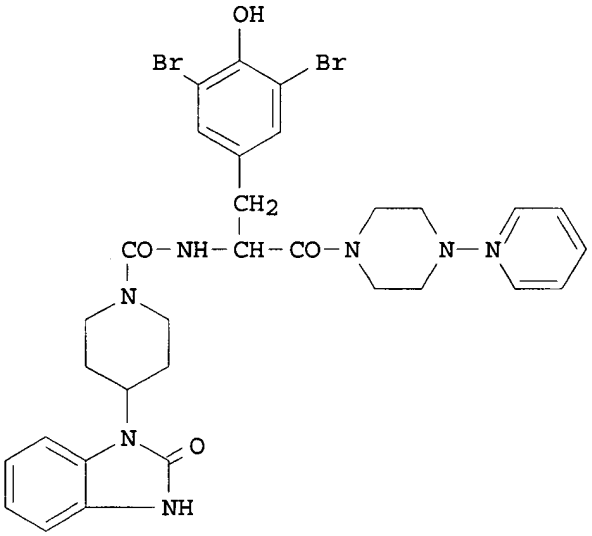
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,

GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

	AU 9741196	A1	19980402	AU 1997-41196	19970908
	AU 721035	B2	20000622		
	EP 927192	A1	19990707	EP 1997-938928	19970908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9712023	A	19990831	BR 1997-12023	19970908
	CN 1230196	A	19990929	CN 1997-197772	19970908
	JP 2000505100	T2	20000425	JP 1998-513227	19970908
	ZA 9708083	A	19991217	ZA 1997-8083	19970909
	TW 477792	B	20020301	TW 1997-86113120	19970910
	NO 9901130	A	19990505	NO 1999-1130	19990309
	US 6344449	B1	20020205	US 1999-254281	19991012
PRAI	DE 1996-19636623	A	19960910		
	DE 1997-19720011	A	19970514		
	WO 1997-EP4862	W	19970908		

OS MARPAT 128:230701

GI



II

AB Title compds. RCOZCR1R2C(:X)ANR3R4 [(I); R = (substituted) alkyl; R1 = H, alkyl, PhCH2; R2 = (CO)m(CH2)nR5; m = 0, 1; n = 1, 2; R5 = Ph, heterocycle; X = O, (H,H); Z = CH2, NR6; R6 = H, alkyl, phenyl-alkyl; A = bond, proline; R3 = H, substituted alkyl, Ph, pyridinyl; R4 = H, substituted alkyl; NR3R4 = (substituted) heterocycle], useful as calcitonin gene-related peptide (CGRP) antagonists, were prepd. Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II (22%). In in-vitro binding studies with human CGRP-receptors, I had IC50 .ltoreq.10000 nM; in CGRP-antagonist in vitro tests, I was effective at doses from 10-11 to 10-5 M.

L10 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS

AN 1997:94071 CAPLUS

DN 126:104431

TI Preparation of heterocyclic dipeptide derivatives which promote release of growth hormone

IN Carpino, Philip A.; Jardine, Paul A. Dasilva; Lefker, Bruce A.; Ragan,

John A.

PA Pfizer Inc., USA; Carpino, Philip A.; Jardine, Paul A. Dasilva; Lefker, Bruce A.; Ragan, John A.

SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2

DT Patent

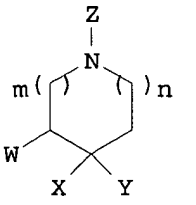
LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9638471	A1	19961205	WO 1995-IB410	19950529
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2220055	AA	19961205	CA 1995-2220055	19950529
CA 2220055	C	20010424		
EP 828754	A1	19980318	EP 1995-918123	19950529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 10510511	T2	19981013	JP 1995-511175	19950529
JP 3133073	B2	20010205	JP 1996-511175	19950529
NO 9602162	A	19961202	NO 1996-2162	19960528
AU 9654554	A1	19961212	AU 1996-54554	19960528
CN 1143647	A	19970226	CN 1996-107637	19960528
US 5936089	A	19990810	US 1997-973268	19971126
FI 9704368	A	19971128	FI 1997-4368	19971128
PRAI WO 1995-IB333	A	19950508		
WO 1995-IB410	W	19950529		

OS MARPAT 126:104431

GI



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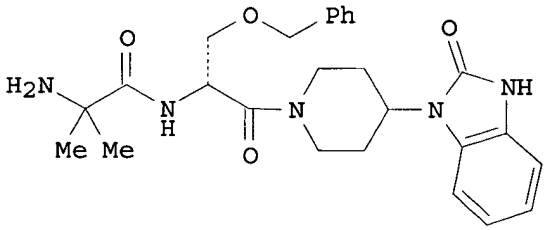


II

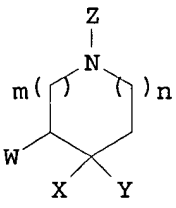
AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion substituted with 0-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C4-10 cycloalkyl, aryl-K, phenyl-(C1-6alkyl)-K, thienyl-(C1-6 alkyl)-K substituted with 0-3 R3a, R3b, or R12; K = bond, O, S(O)m, NR2a; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, (un)substituted carbo- or heterobicyclic ring; R1 = (un)substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = (un)substituted C3-8 ring; R2 = H, C1-6 alkyl, C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle contg. 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, (un)substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = (un)substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, (un)substituted C1-6 alkyl; R8 = H, (un)substituted C1-6 alkyl; R9 = H, (un)substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis (no data). Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazolinyl)piperidine, followed by

deprotection, coupling with BocNHCMe2CO2H, and deprotection with HCl gave dipeptide amide salt II.

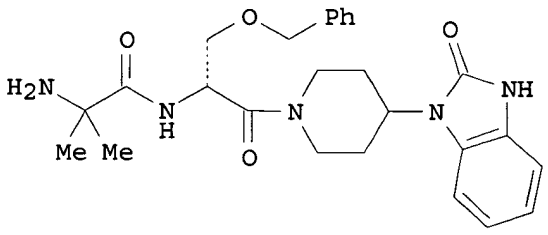
L10 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 1997:26293 CAPLUS
DN 126:60362
TI Preparation of heterocyclic dipeptide derivatives which promote release of growth hormone
IN Carpino, Philip A.; Jardine, Paul A. Dasilva; Lefker, Bruce A.; Ragan, John A.
PA Pfizer, Inc., USA; Carpino, Philip A.; Jardine, Paul, A. Dasilva; Lefker, Bruce A.; Ragan, John A.
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9635713	A1	19961114	WO 1995-IB333	19950508
	W: CA, FI, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9654554	A1	19961212	AU 1996-54554	19960528
PRAI	WO 1995-IB333	A	19950508		
	WO 1995-IB410	A	19950529		

OS MARPAT 126:60362
GI



I



II

AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion optionally substituted with 1-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C3-10 cycloalkyl, aryl optionally substituted with 1-3 R3a, R3b, or R12; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, optionally substituted carbobicyclic or heterobicyclic ring; R1 = optionally substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = optionally substituted C3-8 ring; R2 = H, C1-6 alkyl, C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle contg. 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, optionally substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = optionally substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, optionally substituted C1-6 alkyl; R8 = H, optionally substituted C1-6 alkyl; R9 = H, optionally substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis. Thus, condensation of Boc-D-Ser(CH2Ph)-OH

(Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazolinyl)piperidine, followed by deprotection, coupling with BocNHCMe2CO2H, and deprotection with HCl gave dipeptide amide salt II.

L10 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 1996:641303 CAPLUS
DN 125:275644
TI Preparation of aryl/heteroaryl-substituted acylaminoalkanecarboxamides and acylaminoalkenecarboxamides as neurokinin 1 antagonists
IN Gerspacher, Marc; Von Sprecher, Andreas; Roggo, Silvio; Mah, Robert; Ofner, Silvio; Veenstra, Siem Jacob; Betschart, Claudia; Auberson, Yves; Schilling, Walter
PA Switz.
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9626183	A1	19960829	WO 1996-EP555	19960209
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2213080	AA	19960829	CA 1996-2213080	19960209
	AU 9646233	A1	19960911	AU 1996-46233	19960209
	AU 701560	B2	19990128		
	BR 9607335	A	19971125	BR 1996-7335	19960209
	EP 810991	A1	19971210	EP 1996-901800	19960209
	EP 810991	B1	19990414		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI				
	CN 1175944	A	19980311	CN 1996-192094	19960209
	CN 1081625	B	20020327		
	JP 11500436	T2	19990112	JP 1996-525348	19960209
	AT 178886	E	19990415	AT 1996-901800	19960209
	ES 2132882	T3	19990816	ES 1996-901800	19960209
	CZ 288345	B6	20010516	CZ 1997-2662	19960209
	SK 282237	B6	20011203	SK 1997-1139	19960209
	PL 184226	B1	20020930	PL 1996-322001	19960209
	ZA 9601364	A	19960822	ZA 1996-1364	19960221
	IL 117209	A1	20010111	IL 1996-117209	19960221
	FI 9703221	A	19971020	FI 1997-3221	19970804
	NO 9703857	A	19971001	NO 1997-3857	19970821
	US 5929067	A	19990727	US 1997-913352	19970821
PRAI	EP 1995-810117	A	19950222		
	WO 1996-EP555	W	19960209		

OS MARPAT 125:275644
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

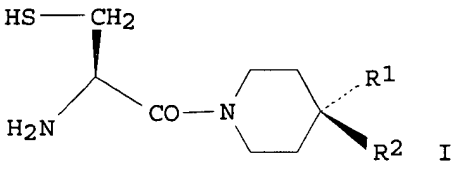
AB The title compds. [I; R1 = aryl, heteroaryl; R2 = H, lower alkyl, aryl-lower alkyl; R3 = H, lower alkyl, aryl, heteroaryl; R4 = aryl, heteroaryl; X = C1-C7 alkylene, C2-C7 alkenylene, C4-C7 alkadienylene; Am = = (substituted) NH2], neurokinin NK-1 and substance P antagonists and therefore useful as neurogenic inflammation and tachykinin-induced bronchoconstriction inhibitors, and as CNS agents, were prepd. Thus,

amidation of pent-2-enoic acid II with 2-(2-pyridyl)ethylamine in the presence of N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide. HCl and DMAP in CH2Cl2 followed by Boc-removal with TFA in CH2Cl2 and N-acylation of the amide III with 3,5-(F3C)2C6H3COCl in the presence of Et3N and DMAP in CH2Cl2 afforded I [R1 = 3,5-(F3C)2C6H3; R2 = Me; R3 = H; R4 = 4-ClC6H4; X = CH:CH; Am = NH(CH2)2(2-pyridyl)]. Compds. I showed, e.g., ED50 of 0.05-1 mg/kg p.o. in vivo in the NK1 bronchospasm test in guinea pigs. Pharmaceutical formulations contg. compds. I were given.

L10 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 1996:379812 CAPLUS
DN 125:49289
TI Inhibitors of farnesyl-protein transferase
IN Fisher, Thorsten E.; Wai, John S.; Culberson, J. Christopher; Saari, Walfred S.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9606609	A1	19960307	WO 1995-US10827	19950825
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5576313	A	19961119	US 1994-298478	19940829
	CA 2198726	AA	19960307	CA 1995-2198726	19950825
	AU 9533731	A1	19960322	AU 1995-33731	19950825
	EP 777475	A1	19970611	EP 1995-930282	19950825
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10505065	T2	19980519	JP 1995-508872	19950825
PRAI	US 1994-298478		19940829		
	WO 1995-US10827		19950825		

OS MARPAT 125:49289
GI



I

AB Chemotherapeutic compns. for treatment of cancer contain substituted piperidine analogs (I; R1 = COR, CO2R, CONHR, OH, OCOR, CN, CH2OR, NHCOR, NHSO2R, etc.; R = alkyl, aryl; R2 = aryl, aralkyl, heterocycle, heteroaralkyl, etc.) as inhibitors of farnesyl-protein transferase (FTase) and farnesylation of the oncogene protein Ras.

L10 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 1978:529935 CAPLUS
DN 89:129935
TI Pseudopeptides
IN Rips, Richard; Morier, Elisabeth
PA Institut National de la Sante et de la Recherche Medicale (INSERM), Fr.

SO Ger. Offen., 54 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2755036	A1	19780615	DE 1977-2755036	19771209
	GB 1592552	A	19810708	GB 1976-51632	19761210
	FR 2373517	A1	19780707	FR 1977-36995	19771208
	FR 2373517	B1	19811127		
	CH 628324	A	19820226	CH 1977-15157	19771209
	US 4297346	A	19811027	US 1979-101555	19791207
PRAI	GB 1976-51632		19761210		
	US 1977-858479		19771208		
	US 1979-14314		19790223		

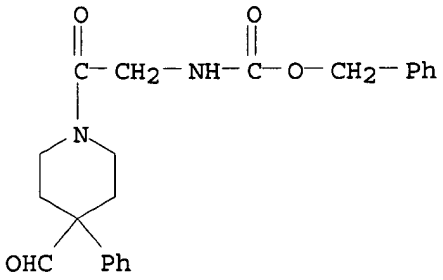
AB Pseudopeptides, contg. a small peptide (1-3 residues) bound to a therapeutic mol. (e.g., amphetamine, dopamine), were prepd. as new types of pharmaceuticals. Thus, pyroglutamic acid, H-pyroGlu-His-OH, and H-pyroGlu-His-Pro-OH were amidated with amphetamine by dicyclohexylcarbodiimide N-hydroxysuccinimide to give H-pyroGlu-NHCHMeCH2Ph (I), H-pyroGlu-His-NHCHMeCH2Ph (II), and H-pyroGlu-His-Pro-NH(CN)MeCH2Ph (III), resp. Several other pseudopeptides contg. amphetamine derivs. (e.g., p-chloro deriv.) as well as other pharmaceutical compds. (e.g., phenothiazine derivs.) were also prepd. Data are given on amphetamine action of I - III in mice and rats and on dopamine action of H-pyroGlu-His-NHCH2CH2C6H4(OH)2-3,4 in mice.

L10 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS
AN 1969:501667 CAPLUS
DN 71:101667
TI 4-Phenylpiperidines. XI. N-diazoacetyl derivatives of 4-phenyl-4-formyl and 4-cyano-4-phenylpiperidine
AU Mazzeo, P.; Chiavarelli, S.
CS Inst. Chem. Farm. Tossicol., Univ. Roma, Rome, Italy
SO Bollettino Chimico Farmaceutico (1969), 108(6), 347-54
CODEN: BCFAAI; ISSN: 0006-6648
DT Journal
LA Italian
GI For diagram(s), see printed CA Issue.
AB The title compds., I and II, are prepd. from III compds. 4-Phenyl-4-formylpiperidine (1.89 g.) is treated with 2.09 g. PhCH2O2CNHCH2CO2H in the presence of 2.27 g. dicyclohexyl-carbodiimide in EtOAc at room temp. to give IV (m. 150-1.degree.) and 47 1-carbobenzoxyglycyl-4-phenyl-4-formylpiperidine (V) (m.93-4.degree.). V (5 g.) is treated with 10 ml. satd. HBr(HOAc) to give 83% II (R = CHO, R1 = NH3 + Br-) (VI), m. 181-2.degree.. A soln. is prepd. from 2.6 g. VI and 20 ml. N NaOH, NaCl is added, the mixt. is extd. with CHCl3, the ext. is evapd., and a soln. is prepd. from the residue and 20 ml. N HCl. The mixt. is treated with N NaOH to give pH 4, a soln. of 2.5 g. NaNO2 in 7 ml. water is slowly added, and the mixt. is kept 1 hr. and worked up to give 86% I. Similarly prepd. are (m.p. given): III (R = CN, R1 = NHCO2CH2Ph2), 120-1.degree.; III (R = CN, R1 = NH3+Br-), 218-22.degree. (decompn.); 129-30.degree.; II, 129-30.degree.. I demonstrates a mild sedative action in male CF1 mice at 25 mg./kg.

=> d hitstr 12

L10 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS
IT **24060-65-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

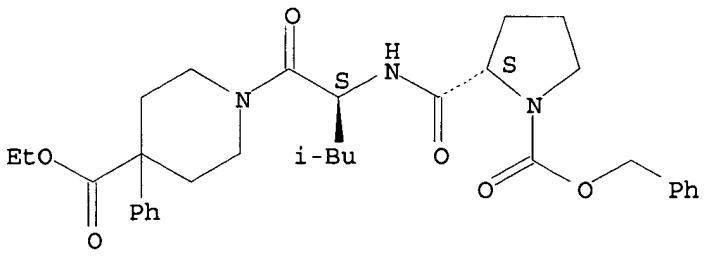
RN 24060-65-9 CAPLUS
CN Carbamic acid, [[(4-formyl-4-phenylpiperidino)carbonyl]methyl]-, benzyl ester (8CI) (CA INDEX NAME)



=> d hitstr 11

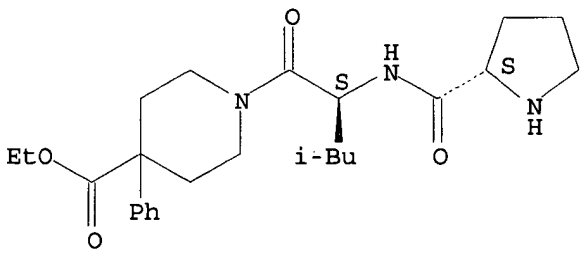
L10 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS
IT **67543-43-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)
RN 67543-43-5 CAPLUS
CN 4-Piperidinecarboxylic acid, 4-phenyl-1-[N-[1-[(phenylmethoxy)carbonyl]-L-prolyl]-L-leucyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **67543-59-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 67543-59-3 CAPLUS
CN 4-Piperidinecarboxylic acid, 4-phenyl-1-(N-L-prolyl-L-leucyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L10 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS
IT **177990-53-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(piperidine analogs as inhibitors of farnesyl-protein transferase for treatment of cancer)
RN 177990-53-3 CAPLUS
CN Carbamic acid, [2-(4-acetyl-4-phenyl-1-piperidinyl)-2-oxo-1-[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.